# MSeaman_Job_1_of_1

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## Document Listing

| Document | Selected Pages | Page Range | Copies |
|---|---|---|---|
| US005585378 | 16 | 1 - 16 | 1 |
| US005340366 | 6 | 1 - 6 | 1 |
| US005279616 | 7 | 1 - 7 | 1 |
| US005261926 | 7 | 1 - 7 | 1 |
| US005190564 | 7 | 1 - 7 | 1 |
| US004921503 | 6 | 1 - 6 | 1 |
| Total (6) | 49 | - | - |

WO 94/29272
WO 93/12085

more clear for recording than US Pats ...

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 * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * * *

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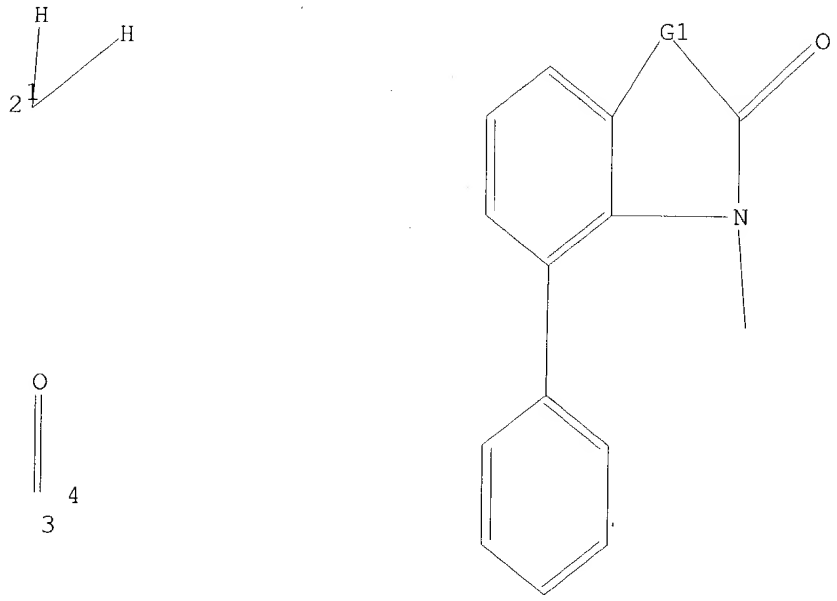


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L4            1 L3

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L4   ANSWER 1 OF 1  CA  COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER:         131:209113  CA
TITLE:                    Antimycobacterial isatin and oxindole derivatives for
                          the treatment of mycobacterial diseases
INVENTOR(S):              Ramachandran, Janakiraman
PATENT ASSIGNEE(S):       Astra AB, Swed.
```

SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9944608 | A1 | 19990910 | WO 1999-SE319 | 19990304 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
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| CA 2320757 | AA | 19990910 | CA 1999-2320757 | 19990304 |
| AU 9927573 | A1 | 19990920 | AU 1999-27573 | 19990304 |
| AU 735381 | B2 | 20010705 | | |
| BR 9908510 | A | 20001121 | BR 1999-8510 | 19990304 |
| EP 1058548 | A1 | 20001213 | EP 1999-908059 | 19990304 |
| EP 1058548 | B1 | 20030917 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002505286 | T2 | 20020219 | JP 2000-534210 | 19990304 |
| NZ 506217 | A | 20020531 | NZ 1999-506217 | 19990304 |
| AT 249828 | E | 20031015 | AT 1999-908059 | 19990304 |
| NO 2000004419 | A | 20001020 | NO 2000-4419 | 20000905 |

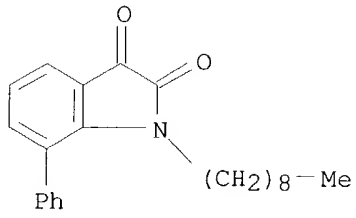
PRIORITY APPLN. INFO.: IN 1998-MA464 A 19980306
SE 1998-1370 A 19980420
WO 1999-SE319 W 19990304

OTHER SOURCE(S): MARPAT 131:209113

AB The use of certain isatin and oxindole derivs. in the prepn. of a medicament for use in the treatment of mycobacterial diseases is disclosed. Thus, 1-nonyl-7-phenyl-1H-indol-2,3-dione was prepd. by the reaction of 1-bromononane with 7-phenyl-1H-indole-2,3-dione (I). The MIC of I against Mycobacterium tuberculosis was .ltoreq.20 .mu.g/mL.

IT **242792-94-5P 242792-96-7P 242792-97-8P**
**242792-98-9P 242792-99-0P 242793-00-6P**
**242793-01-7P 242793-02-8P 242793-03-9P**
**242793-04-0P 242793-05-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(antimycobacterial isatin and oxindole derivs. for treatment of mycobacterial diseases)

RN 242792-94-5 CA
CN 1H-Indole-2,3-dione, 1-nonyl-7-phenyl- (9CI) (CA INDEX NAME)



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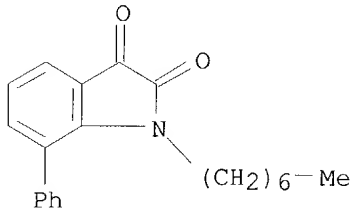

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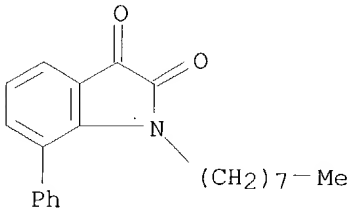

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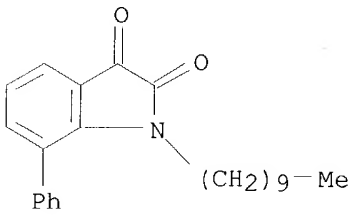

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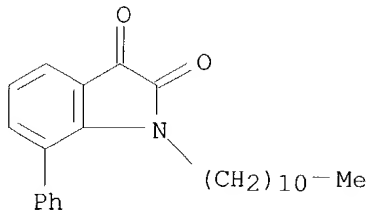

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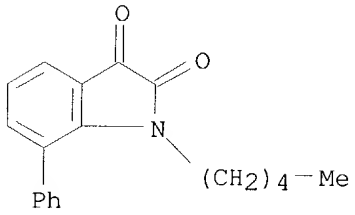

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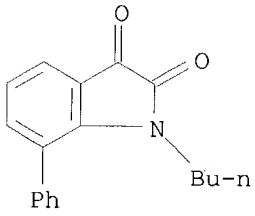

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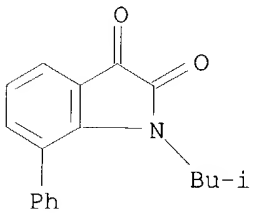

RN 242793-03-9 CA
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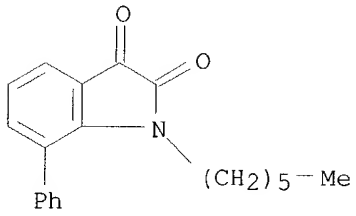
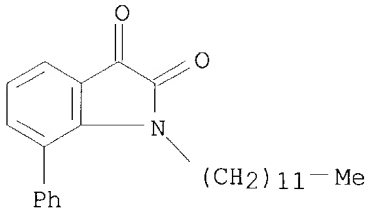
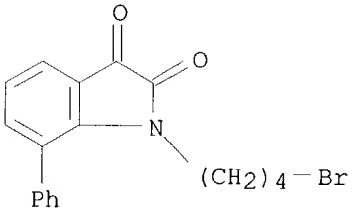


RN 242793-04-0 CA
CN 1H-Indole-2,3-dione, 1-dodecyl-7-phenyl- (9CI) (CA INDEX NAME)



RN 242793-05-1 CA
CN 1H-Indole-2,3-dione, 1-(4-bromobutyl)-7-phenyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L5 35 SEA SSS FUL L1

=> d ibib abs fqhit 1-35

L5 ANSWER 1 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 139:101024 MARPAT
TITLE: Preparation of 2-oxindole derivs. as glycogen synthase kinase-3 (GSK3) inhibitors for use in pharmaceutical compositions for treatment of neurodegenerative diseases
INVENTOR(S): Berg, Stefan; Bhat, Ratan; Edwards, Philip; Hellberg, Sven
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003055492 | A1 | 20030710 | WO 2002-SE2370 | 20021218 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-344887P 20011221

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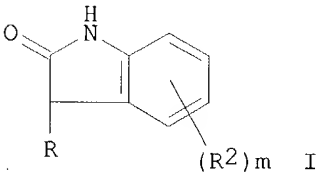


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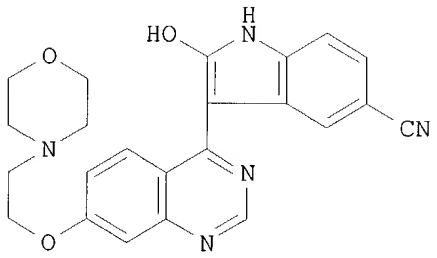
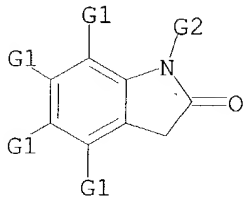



II

AB 2-Oxindoles, such as I [R = substituted- or unsubstituted-quinazolin-4-yl; R2 = OH, CH2F, CF3, OCF3, CN, NH2, NO2, alkyl, alkoxy, acyloxy, acyl, alkylthio, etc.; m = 0-4], were prepd. for therapeutic use as GSK3 inhibitors. These oxindoles are intended for therapeutic use in the treatment of GSK3 assocd. diseases, such as Alzheimer's disease, dementia, Parkinson dementia complex of Guam, frontotemporal dementia Parkinson's type, HIV dementia, neurofibrillar tangle pathologies, predemented states, vascular dementia, dementia with Lewy bodies, dementia pugilistic and age related cognitive disorders, as well as for male contraception and treatment of diabetes, amyotrophic lateral sclerosis, corticobasal degeneration, Down's syndrome, Huntington's disease, Parkinson's disease, postencephelatic Parkinsonism, progressive supranuclear palsy, Pick's disease, Niemann-Pick's disease, stroke, head trauma, bipolar disease, affective disorders, depression, schizophrenia, cognitive disorders and androgenetic alopecia. Thus, the dihydrochloride salt of oxindole II was prepd. in 68% yield by a coupling reaction of 5-cyanooxindole with 4-chloro-7-(2-morpholinoethoxy)quinazoline in DMF using NaH. The prepd. oxindoles were tested for GSK3 inhibition using the GSK3.beta. proximity assay.

**MSTR 2**




G1 = Ph (SO (1-2) G11)
G2 = alkyl<(1-3)>
MPL: claim 25

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 136:183610 MARPAT
TITLE: Heterocyclic sulfonamide derivatives
INVENTOR(S): Bender, David Michael; Forman, Scott Louis; Jones, Winton Dennis; Smith, Daryl Lynn; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002014294 | A2 | 20020221 | WO 2001-US21121 | 20010727 |
| WO 2002014294 | A3 | 20020606 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
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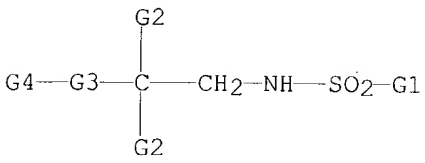
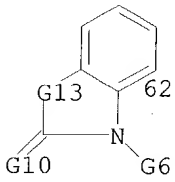
| AU 2001082865 | A5 | 20020225 | AU 2001-82865 | 20010727 |
| EP 1309577 | A2 | 20030514 | EP 2001-961615 | 20010727 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2003225127 | A1 | 20031204 | US 2003-343186 | 20030401 |
| PRIORITY APPLN. INFO.: | | | US 2000-224573P | 20000811 |
| | | | WO 2001-US21121 | 20010727 |

AB The present invention provides certain heterocyclic sulfonamide derivs. useful for potentiating glutamate receptor function in a patient and therefore, useful for treating a wide variety of conditions, such as psychiatric and neurol. disorders. Fifteen title compds. such as 6-[4-(1-methyl-2-{[(methylethyl)sulfonyl]amino}ethyl)phenyl]-3-hydrobenzothiazol-2-one, and 5-[4-((1R)- and -(1S)-1-methyl-2-{[(methylethyl)sulfonyl]amino}ethyl)phenyl]indolin-2-ones were prepd. in 17-67% yields by std. methods.

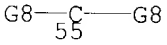
**MSTR 1**



G3 = phenylene (SO (1-2) G5)
G4 = 62



G6 = alkyl<(1-6)>
G10 = O
G13 = 55



MPL: claim 1
NTE: substitution is restricted
NTE: or pharmaceutically acceptable salts

L5 ANSWER 3 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 136:183609 MARPAT
TITLE: Heterocyclic sulfonamide derivatives
INVENTOR(S): Forman, Scott Louis; Jones, Winton Dennis; Smith, Daryl Lynn; Zarrinmayeh, Hamideh; Zimmerman, Dennis Michael
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2002014275 | A2 | 20020221 | WO 2001-US21122 | 20010727 |
| WO 2002014275 | A3 | 20020530 | | |

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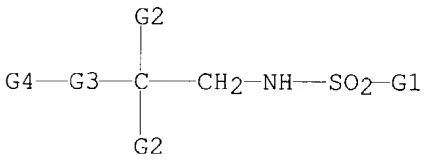
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

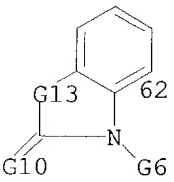
| | | | | |
|---|---|---|---|---|
| AU 2001080470 | A5 | 20020225 | AU 2001-80470 | 20010727 |
| EP 1313719 | A2 | 20030528 | EP 2001-958860 | 20010727 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2003220369 | A1 | 20031127 | US 2003-332941 | 20030113 |
| PRIORITY APPLN. INFO.: | | | US 2000-224497P | 20000811 |
| | | | WO 2001-US21122 | 20010727 |

AB The present invention provides certain heterocyclic sulfonamide derivs. useful for potentiating glutamate receptor function in a patient and therefore, useful for treating a wide variety of conditions, such as psychiatric and neurol. disorders. Ten title compds. such as 4-, 5-, 6- and 7-[4-(1-fluoro-1-methyl-2-{[(methylethyl)sulfonyl]amino}ethyl)phenyl]indol-2-ones were prepd. in 20-50% yields by std. methods.

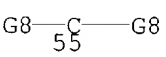
**MSTR 1**




G3 = phenylene (SO (1-2) G5)
G4 = 62




G6 = alkyl<(1-6)>
G10 = O
G13 = 55




MPL: claim 1
NTE: substitution is restricted
NTE: or pharmaceutically acceptable salts

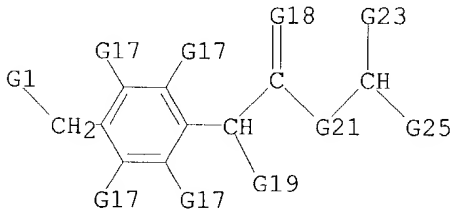
L5 ANSWER 4 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 136:64132 MARPAT
TITLE: Use of microsomal triglyceride transfer protein inhibitors for reducing the number of postprandial triglyceride-rich lipoprotein particles
INVENTOR(S): Grutzmann, Rudi; Muller, Ulrich; Bischoff, Hilmar; Zaiss, Siegfried

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

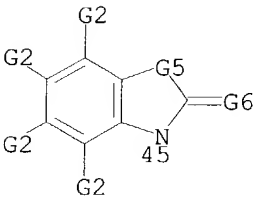
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001097787 | A2 | 20011227 | WO 2001-EP6526 | 20010608 |
| WO 2001097787 | A3 | 20021114 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10030375 | A1 | 20020103 | DE 2000-10030375 | 20000621 |
| EP 1296681 | A2 | 20030402 | EP 2001-951571 | 20010608 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003535888 | T2 | 20031202 | JP 2002-503264 | 20010608 |
| US 2004014748 | A1 | 20040122 | US 2003-311761 | 20030512 |
| PRIORITY APPLN. INFO.: | | | DE 2000-10030375 | 20000621 |
| | | | WO 2001-EP6526 | 20010608 |

AB Inhibitors of the microsomal triglyceride transfer protein are used for reducing the no. of postprandial triglyceride-rich lipoprotein particles or for reducing their decompn. products i.e. the cholesterol-rich "small remnant particle" (remnants). The particles are assocd. with apolipoprotein B-48.

**MSTR 2**




G1 = 45



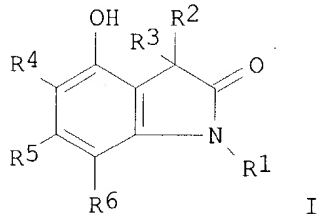

G2 = Ph

G5 = C(O)
G6 = O
MPL: claim 4
NTE: and salts
STE: and isomeric forms

L5 ANSWER 5 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 135:180701 MARPAT
TITLE: Preparation of 4-hydroxyoxoindoles
INVENTOR(S): Furukawa, Yoshiro
PATENT ASSIGNEE(S): Daiso Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 4 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 2001226351 | A2 | 20010821 | JP 2000-34472 | 20000214 |

PRIORITY APPLN. INFO.: JP 2000-34472 20000214
OTHER SOURCE(S): CASREACT 135:180701
GI

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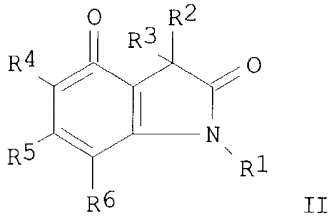
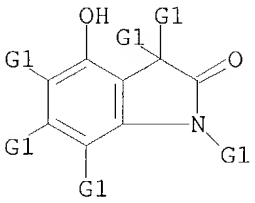



II

AB Title compds. I (R1-R6 = H, alkyl, cycloalkyl, aryl, aralkyl, etc.) are prepd. by dehydrogenation of dioxoindoles II (R1-R6 = same as above) in solvents. 2,4-Dioxo-2,3,4,5,6,7-hexahydroindole was dehydrogenated in the presence of Pd/C in ethylene glycol monobutyl ether acetate under reflux to give 95% 2,3-dihydro-4-hydroxy-2-oxoindole.

**MSTR 2**

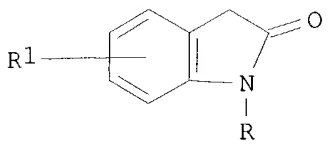



G1 = CO2H (SO) / Ph
MPL: claim 1

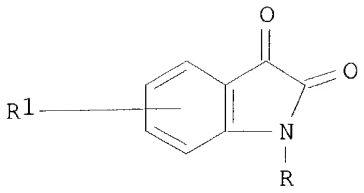
L5 ANSWER 6 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 135:76794 MARPAT
TITLE: High-yield method for producing 2-indolones by the reduction of isatins with hydrazine hydrate in the presence of tertiary amine catalysts
INVENTOR(S): Hendel, Wolfram; Schwendinger, Karl; Felfer, Ulfried
PATENT ASSIGNEE(S): DSM Fine Chemicals Austria G.m.b.H., Austria
SOURCE: PCT Int. Appl., 17 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001047884 | A1 | 20010705 | WO 2000-EP12010 | 20001130 |
| W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AT 9902182 | A | 20010215 | AT 1999-2182 | 19991227 |
| AT 408223 | B | 20010925 | | |
| EP 1242376 | A1 | 20020925 | EP 2000-988767 | 20001130 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| US 2004014986 | A1 | 20040122 | US 2002-168848 | 20020626 |
| PRIORITY APPLN. INFO.: | | | AT 1999-2182 | 19991227 |
| | | | WO 2000-EP12010 | 20001130 |

OTHER SOURCE(S): CASREACT 135:76794
GI



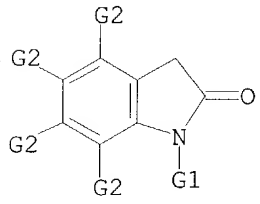
I



II

AB 2-Indolones (I; R = H, CH3, Ph, PhCH2; R1 = H, C1-4 alkyl, alkoxy, Ph, phenoxy, halogen, amino, nitro, hydroxy) (e.g., 2-indolone) are prepd. in high yield and selectivity by redn. of the corresponding isatins (II; e.g., 2,3-indoledione) with hydrazine hydrate in a polar solvent (e.g., 2-ethylhexanol) at 15-185.degree. to form an unisolated corresponding isatin hydrazone which directly undergoes further redn. to form the corresponding 2-indolone by adding diazabicyclooctane and/or diazabicycloundecane and/or ethyldiisopropylamine as a catalyst at 100-185.degree. and then the produced reaction water is distd. off. The I is isolated from the reaction mixt. by distg. off the solvent and by means of crystn. in an ether solvent.

**MSTR 1**



G1 = Me
G2 = Ph
MPL: claim 1

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 134:193446 MARPAT
TITLE: Preparation of heterocyclic compounds as inhibitors of factor Xa
INVENTOR(S): Zhu, Bing-Yan; Scarborough, Robert M.; Clizbe, Lane; Doughan, Brandon; Jia, Zhaozhong-Jon; Kane-Maguire, Kim; Marlowe, Charles; Song, Yonghong; Su, Ting; Teng, Willy; Zhang, Penglie
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA; et al.
SOURCE: PCT Int. Appl., 387 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

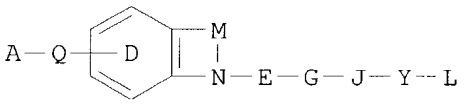
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001012600 | A1 | 20010222 | WO 2000-US21742 | 20000810 |
| WO 2001012600 | C2 | 20020912 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

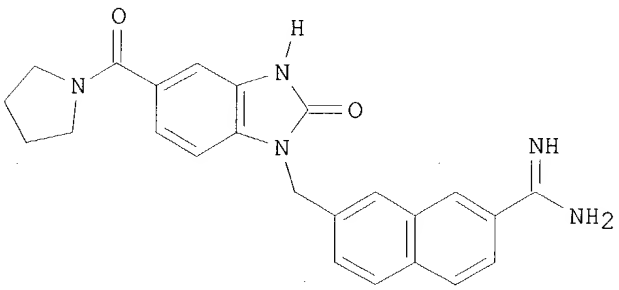
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| US 6534535 | B1 | 20030318 | US 2000-636804 | 20000810 |
| PRIORITY APPLN. INFO.: | | | US 1999-148627P | 19990812 |
| | | | US 2000-202202P | 20000505 |

GI



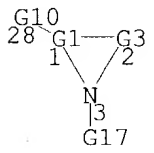

I



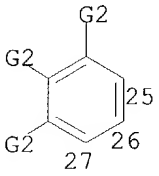

II

AB The title compds. [I; A = alkyl, cycloalkyl, (un)substituted Ph, etc.; Q = a direct link, CH2, CO, etc.; D = (un)substituted Ph, 6-membered heteroaryl having 1-2 ring N atoms; M = NR16CO, NR16CS, CR17R18CO, etc.; R16-R18 = H, halo, alkyl, etc.; E = a direct link, CO, CONR5, etc.; R5 = alkyl, alkenyl, alkynyl, etc.; G = a direct link, CR7R8, CR7aR8aCR7bR8b, CR7c:CR8c; R7, R8, R7a, R7b, R7c, R8a, R8b, R8c = H, halo, alkyl, etc.; J = a direct link, O, S, etc.; Y = (un)substituted Ph, naphthyl, monocyclic or fused bicyclic heterocyclyl; L = H, CN, CONR12R13; R12, R13 = H, alkyl, OH, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepd. and formulated. E.g., a multi-step synthesis of the title compd. II was given.

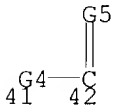
**MSTR 1**



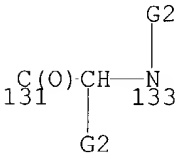

G1 = 27-28 25-2 26-3




G3 = 41-1 42-3




G4 = CH2 (SO)
G5 = O
G10 = Ph (SO)
G18 = 131-3 133-112




MPL: claim 1
NTE: additional ring formation also claimed
NTE: substitution is restricted

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 134:76382 MARPAT
TITLE: Combinations of microsomal triglyceride-exchanging protein (MTP) inhibitors and HMG CoA reductase inhibitors and their use in medicaments
INVENTOR(S): Gruetzmann, Rudi; Mueller, Ulrich; Bischoff, Hilmar
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 44 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | |
|---|---|---|---|
| DE 19929065 | A1 | 20001228 | DE 1999-19929065 19990625 |
| WO 2001000183 | A2 | 20010104 | WO 2000-EP5410 20000613 |
| WO 2001000183 | A3 | 20010510 | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

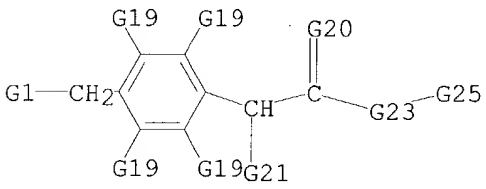
| | | | |
|---|---|---|---|
| EP 1196194 | A2 | 20020417 | EP 2000-942056 20000613 |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

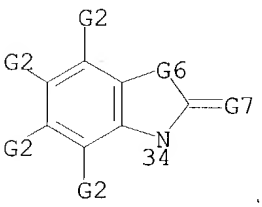
PRIORITY APPLN. INFO.: DE 1999-19929065 19990625
WO 2000-EP5410 20000613

AB The invention concerns the use of a combination of at least one selected MTP inhibitor (component A) and an HMG CoA reductase inhibitor (component B) for the fight against cardiovascular illnesses. An example of component A is (2S)-2-cyclopentyl-2-[4-(2,4-dimethylpyrido[2,3-b]indol-9-ylmethyl)phenyl]-N-(2-(1R)hydroxy-1-phenylethyl)acetamide. An example of component B is Atorvastatin.

**MSTR 2**




G1 = 34




G2 = Ph
G6 = C(O)
G7 = O
MPL: claim 1

L5 ANSWER 9 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 134:76381 MARPAT
TITLE: Combinations of microsomal triglyceride-exchanging protein (MTP) inhibitors with hypolipemics and their use in medicaments
INVENTOR(S): Gruetzmann, Rudi; Mueller, Ulrich

PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 46 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19929031 | A1 | 20001228 | DE 1999-19929031 | 19990625 |
| WO 2001000184 | A2 | 20010104 | WO 2000-EP5417 | 20000613 |
| WO 2001000184 | A3 | 20010705 | | |

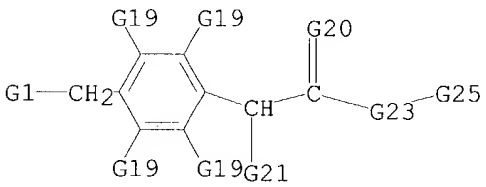
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

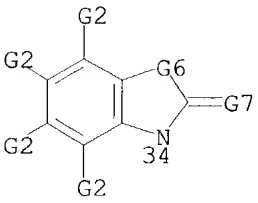
PRIORITY APPLN. INFO.: DE 1999-19929031 19990625

AB The invention concerns the use of a combination of at least one MTP inhibitor (component A) as well as vitamins and substances affecting lipid metab. for the fight against cardiovascular diseases, (component B), and the prodn. and use of this combination. An example of an A component is (2S)-2-cyclopentyl-2-[4-(2,4-dimethylpyrido[2,3-b]indol-9-ylmethyl)phenyl]-N-(2-hydroxy-1-phenylethyl)acetamide. An example of a B component is Gemfibrozil.

**MSTR 2**




G1 = 34




G2 = Ph
G6 = C(O)
G7 = O
MPL: claim 1

L5 ANSWER 10 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 134:76380 MARPAT
TITLE: Combination of microsomal triglyceride-exchanging protein (MTP) inhibitors and metabolism-affecting active substances and its use in medicaments
INVENTOR(S): Gruetzmann, Rudi; Mueller, Ulrich
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Ger. Offen., 46 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

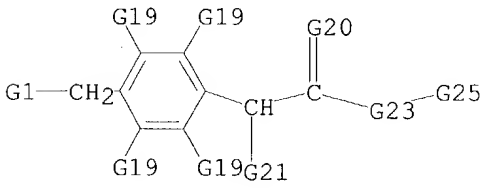
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19929012 | A1 | 20001228 | DE 1999-19929012 | 19990625 |
| WO 2001000189 | A2 | 20010104 | WO 2000-EP5575 | 20000616 |
| WO 2001000189 | A3 | 20010802 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

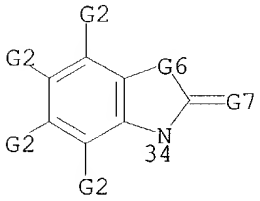
PRIORITY APPLN. INFO.: DE 1999-19929012 19990625

AB The invention concerns the use of a combination of at least one selected MTP inhibitor (component A) and metab.-affecting active substances (component B) for the fight against illnesses; medicaments contg. this combination and its prodn. are disclosed. An example of component A is (2S)-2-cyclopentyl-2-[4-(2,4-dimethylpyrido[2,3-b]indol-9-ylmethyl)phenyl]-N-(2-(1R)hydroxy-1-phenylethyl)acetamide. Component B may include antidiabetic agents, antioxidants, cytostatics, calcium antagonists, antihypertensives, thyroid agents, anticoagulants, etc.

**MSTR 2**




G1 = 34



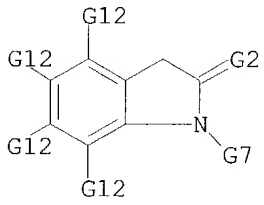
G2 = Ph
G6 = C(O)
G7 = O
MPL: claim 1

L5 ANSWER 11 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 133:217719 MARPAT
TITLE: 3-(Cyclohexanoheteroarylidenyl)-2-indolinone protein tyrosine kinase inhibitors, and their therapeutic use
INVENTOR(S): Tang, Peng Cho; Sun, Li; McMahon, Gerald; Blake, Robert A.
PATENT ASSIGNEE(S): Sugen, Inc., USA
SOURCE: U.S., 61 pp., Cont. -in-part of U.S. Ser. No. 99,842.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 6114371 | A | 20000905 | US 1998-190970 | 19981112 |
| US 6130238 | A | 20001010 | US 1998-99842 | 19980619 |
| US 2002183370 | A1 | 20021205 | US 2001-29946 | 20011231 |
| US 6579897 | B2 | 20030617 | | |
| PRIORITY APPLN. INFO.: | | | US 1997-50977P | 19970620 |
| | | | US 1997-59384P | 19970919 |
| | | | US 1998-99842 | 19980619 |
| | | | US 1997-50413P | 19970620 |
| | | | US 1997-59544P | 19970919 |
| | | | US 1998-99721 | 19980619 |
| | | | US 2000-482198 | 20000112 |

OTHER SOURCE(S): CASREACT 133:217719
AB 3-(Cyclohexano-heteroarylidenyl)-2-indolinone compds., and physiol. acceptable salts and prodrugs thereof, are disclosed which are expected to modulate the activity of protein tyrosine kinases and therefore to be useful in the prevention and treatment of protein tyrosine kinase-related cellular disorders (cancer, arthritis, restenosis, etc.).

**MSTR 2**




G2 = O
G7 = CO2H (SO)
G12 = 146

p-C6H4OMe
146

MPL: claim 18

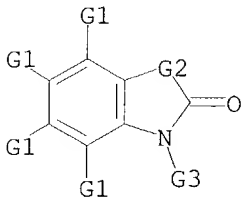
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 12 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 131:209113 MARPAT
TITLE: Antimycobacterial isatin and oxindole derivatives for the treatment of mycobacterial diseases
INVENTOR(S): Ramachandran, Janakiraman
PATENT ASSIGNEE(S): Astra AB, Swed.
SOURCE: PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9944608 | A1 | 19990910 | WO 1999-SE319 | 19990304 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2320757 | AA | 19990910 | CA 1999-2320757 | 19990304 |
| AU 9927573 | A1 | 19990920 | AU 1999-27573 | 19990304 |
| AU 735381 | B2 | 20010705 | | |
| BR 9908510 | A | 20001121 | BR 1999-8510 | 19990304 |
| EP 1058548 | A1 | 20001213 | EP 1999-908059 | 19990304 |
| EP 1058548 | B1 | 20030917 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002505286 | T2 | 20020219 | JP 2000-534210 | 19990304 |
| NZ 506217 | A | 20020531 | NZ 1999-506217 | 19990304 |
| AT 249828 | E | 20031015 | AT 1999-908059 | 19990304 |
| NO 2000004419 | A | 20001020 | NO 2000-4419 | 20000905 |
| PRIORITY APPLN. INFO.: | | | IN 1998-MA464 | 19980306 |
| | | | SE 1998-1370 | 19980420 |
| | | | WO 1999-SE319 | 19990304 |

AB The use of certain isatin and oxindole derivs. in the prepn. of a medicament for use in the treatment of mycobacterial diseases is disclosed. Thus, 1-nonyl-7-phenyl-1H-indol-2,3-dione was prepd. by the reaction of 1-bromononane with 7-phenyl-1H-indole-2,3-dione (I). The MIC of I against Mycobacterium tuberculosis was .ltoreq.20 .mu.g/mL.

**MSTR 1**



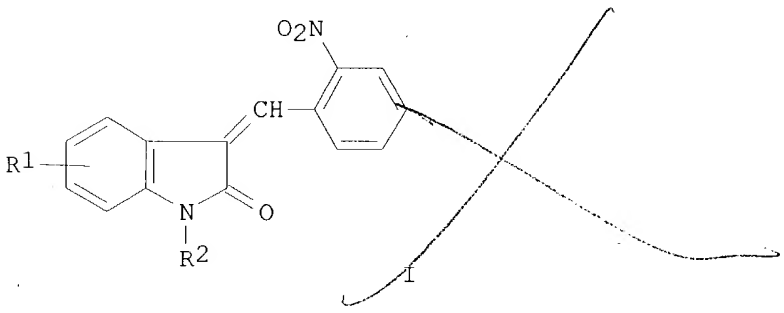

G1 = Ph
G2 = CH2
G4 = (3-7) CH2
DER: and pharmaceutically acceptable salts or solvates
MPL: claim 1

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 130:320831 MARPAT
TITLE: Application of 3-substituted aryl oxidized indole compounds
INVENTOR(S): Yang, Chunzheng; Xie, Ping; Duan, Jianrong; Miao, Hua; Song, Xianmei
PATENT ASSIGNEE(S): Hematology Inst., Chinese Academy of Medical Sciences, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 21 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CN 1115640 | A | 19960131 | CN 1994-107957 | 19940726 |
| CN 1051452 | B | 20000419 | | |
| PRIORITY APPLN. INFO.: | | | CN 1994-107957 | 19940726 |

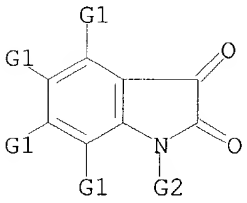
GI




AB The title compds. used to prep. anti-tumor and/or anti-leukemia drug have a structure of (I) as follows: where, R1=H, halogen, alkyl, alkenyl, Ph, OH, alkoxy, or OC(O)R(R=alkyl), or C(O)R (R=H, CH3, Ar, NR'R''(R'R''=alkyl), or COOR (R=H, CH3, C1-3alkyl or M+), or NHR (R=H, alkyl or NO2); R2=H, CH3, Ar or NR'2 (R'=H or alkyl)). 3-Substituted aryl

oxidized indole compd. (R1,R2 is the same as compd. I) was reacted with triphenyl-2-nitrobenzylphosphonium bromide by Wittig's reaction, then reacted by Friedel-craft's reaction to give the title compds.

**MSTR 2**

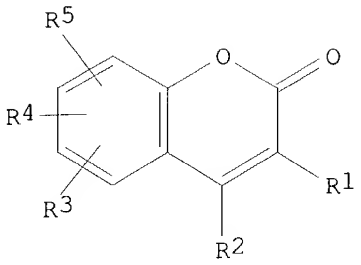



G1 = Ph
G2 = Me
MPL: claim 3

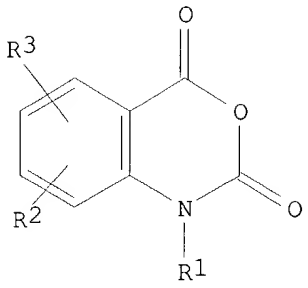
L5 ANSWER 14 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 129:267876 MARPAT
TITLE: Negative charging electrophotographic toner containing benzoheterocyclic compound as charge-controlling agent
INVENTOR(S): Murai, Takayuki; Tanioka, Miya; Yoshioka, Takashi
PATENT ASSIGNEE(S): Shikoku Chemicals Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 10239910 | A2 | 19980911 | JP 1997-57076 | 19970224 |

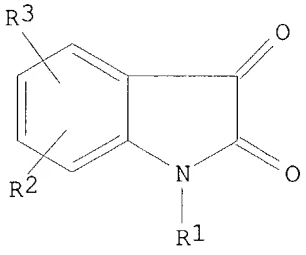
PRIORITY APPLN. INFO.: JP 1997-57076 19970224
GI



I



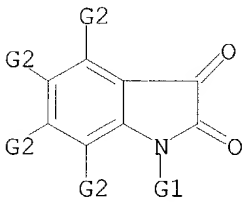
II



III

AB The toner contains benzoheterocyclic compd. I (R1-5 = H, alkyl, aryl, halo, nitro, cyano, OH, alkoxy, carboxyl, alkoxycarbonyl, acyloxy, amino), II (R1 = H, alkyl, aryl; R2-3 = H, alkyl, aryl, halo, alkoxy), or III (R1 = H, alkyl, aryl; R2-3 = H, alkyl, aryl, halo, nitro, cyano, alkoxy, carbamoyl, carboxyl, alkoxycarbonyl) as a charge-controlling agent. The compd. shows good charging-controlling ability and the toner gives clear white or pale-color images without toner scattering.

**MSTR 3**



G1 = Me
G2 = Ph
MPL: claims

L5 ANSWER 15 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 129:76520 MARPAT
TITLE: Vitronectin-receptor antagonists
INVENTOR(S): Wehner, Volkmar; Stilz, Hans-ulrich; Peyman, Anuschirwan; Scheunemann, Karlheinz; Ruxer, Jean-Marie; Carniato, Denis; Lefrancois, Jean-Michel; Gadek, Thomas Richard; McDowell, Robert
PATENT ASSIGNEE(S): Hoechst A.-G., Germany; Genentech Inc.
SOURCE: Ger. Offen., 52 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent

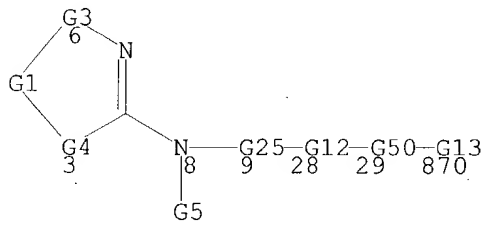
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19653645 | A1 | 19980625 | DE 1996-19653645 | 19961220 |
| EP 854145 | A2 | 19980722 | EP 1997-121931 | 19971212 |
| EP 854145 | A3 | 20000322 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| ZA 9711315 | A | 19980622 | ZA 1997-11315 | 19971217 |
| BR 9706386 | A | 20030422 | BR 1997-6386 | 19971217 |
| AU 9748464 | A1 | 19980625 | AU 1997-48464 | 19971218 |
| AU 729760 | B2 | 20010208 | | |
| CA 2225267 | AA | 19980620 | CA 1997-2225267 | 19971219 |
| NO 9705975 | A | 19980622 | NO 1997-5975 | 19971219 |
| CN 1200373 | A | 19981202 | CN 1997-129789 | 19971219 |
| JP 10182617 | A2 | 19980707 | JP 1997-365528 | 19971222 |
| US 5990145 | A | 19991123 | US 1997-995522 | 19971222 |
| US 2001011087 | A1 | 20010802 | US 2001-778755 | 20010208 |
| US 6482821 | B2 | 20021119 | | |
| US 2003119785 | A1 | 20030626 | US 2002-299001 | 20021119 |

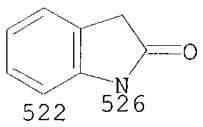
PRIORITY APPLN. INFO.:
DE 1996-19653645 19961220
US 1997-995522 19971222
US 1999-412314 19991005
US 2001-778755 20010208

AB Compds. contg. a nitrogen heterocycle and a fibrinogen receptor antagonist are claimed for use as vitronectin receptor antagonists and to inhibit bone resorption (no data).

**MSTR 1**




G12 = 522-9 526-29




G14 = alkylene<(1-)> (SO)
G25 = phenylene
DER: and physiologically acceptable salts
MPL: claim 1
NTE: substitution is restricted

L5 ANSWER 16 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 128:128032 MARPAT
TITLE: Preparation of heterocyclyl-substituted phenoxyalkanoic acids as fibrinogen receptor antagonists
INVENTOR(S): Duggan, Mark E.; Egbertson, Melissa S.; Hartman, George D.; Young, Steven D.; Ihle, Nathan C.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 270 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

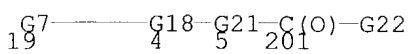
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9800134 | A1 | 19980108 | WO 1997-US11133 | 19970625 |
| W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2258093 | AA | 19980108 | CA 1997-2258093 | 19970625 |
| AU 9735798 | A1 | 19980121 | AU 1997-35798 | 19970625 |
| AU 721130 | B2 | 20000622 | | |
| EP 912175 | A1 | 19990506 | EP 1997-932307 | 19970625 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI | | | | |
| JP 2000514061 | T2 | 20001024 | JP 1998-504291 | 19970625 |
| PRIORITY APPLN. INFO.: | | | US 1996-20975P | 19960628 |
| | | | GB 1997-893 | 19970117 |
| | | | WO 1997-US11133 | 19970625 |

GI

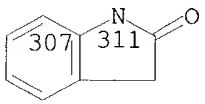
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. X-Y-Z-A-B [I; X = (un)substituted 5-7- membered arom. or nonarom. ring, having 1-3 heteroatoms selected from N, O, and S, (un)substituted 9-10 membered fused arom. or nonarom. ring, having 1-3 heteroatoms selected from N, O, and S; Y = (un)substituted 5-6 membered arom. or nonarom. ring, having 0-3 heteroatoms selected from N, O, and S; XY = II, III, IV, V; Z = C(O)NR4, N(R4)C(O), CH2CH2, CH:CH, etc.; R4 = H, C1-4 alkyl, C3-6 cycloalkyl; A = (un)substituted 5-6 membered arom. ring, having 0-3 heteroatoms selected from N, O, and S, 9-10 membered fused arom. ring having 0-3 heteroatoms (N, O, and S); B = C(CH2)mCO2R9, (CH2)nCO2R9, CH(R8)(CH2)pCO2R9, OCH(R8)(CH2)pCO2R9 (wherein m = 1-3; n = 0-3; p = 0-3; R8 = H, aryl, amino, etc.; R9 = H, aryl, C1-8 alkyl, etc.)], useful in inhibiting the binding of fibrinogen to blood platelets, inhibiting the aggregation of blood platelets, treating thrombus or embolus formation, inhibiting osteoclast mediated bone resorption, inhibiting angiogenesis, and in inhibiting tumor growth, were prepd. and formulated. Thus, a few-step detailed synthesis of the acid VI which showed IC50 in the range between 10 nM and 50 mM against ADP-stimulated platelet aggregation, was described.

**MSTR 1B**




G9 = phenylene (SO)
G18 = 307-19 311-5




DER: and pharmaceutically acceptable salts
MPL: claim 1

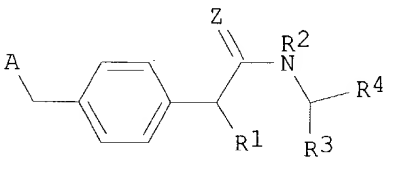
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 127:108938 MARPAT
TITLE: Preparation of benzoheterocyclylmethylphenylacetamides as antiatherosclerotics.
INVENTOR(S): Connell, Richard; Goldmann, Siegfried; Mueller, Ulrich; Lohmer, Stefan; Bischoff, Hilmar; Denzer, Dirk; Gruetzmann, Rudi; Wohlfeil, Stefan
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 57 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 779279 | A1 | 19970618 | EP 1996-119321 | 19961203 |
| R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| DE 19546918 | A1 | 19970619 | DE 1995-19546918 | 19951215 |
| US 5811429 | A | 19980922 | US 1996-761921 | 19961209 |
| JP 09183766 | A2 | 19970715 | JP 1996-352429 | 19961213 |
| US 6025378 | A | 20000215 | US 1998-99557 | 19980618 |
| US 6200971 | B1 | 20010313 | US 1999-420304 | 19991018 |

PRIORITY APPLN. INFO.:
DE 1995-19546918 19951215
US 1996-761921 19961209
US 1998-99557 19980618

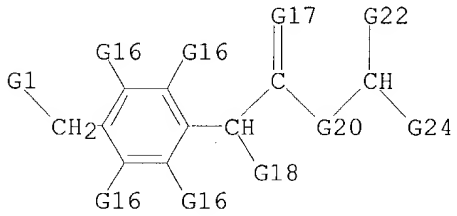
GI



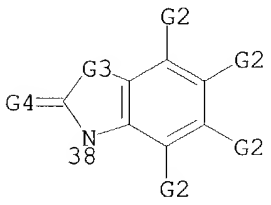

I

AB Title compds. [I; A = (substituted) benzimidazolyl, oxoquinazolinyl, oxophthalazinyl, etc.; R1 = alkyl, cycloalkyl, (substituted) Ph; R2 = H, alkyl; R3 = H, alkyl, cycloalkyl, (substituted) Ph, heterocyclyl; R4 = H, CH2OH, CH2O2CR11; R11 = H, alkyl, (substituted) Ph; D, E = H, halo, CF3, OH, CO2H, alkyl, alkoxy, alkoxycarbonyl; Z = O, S], were prepd. Thus, 2-cyclopentyl-2-[4-(2-methyl-4-oxo-4H-quinazolin-3-ylmethyl)phenyl]acetic acid (prepn. given) was stirred overnight with (R)-phenylglycinol, hydroxybenzotriazole, N'-(3-dimethylaminopropyl)-N-ethylcarbodiimide hydrochloride, and Et3N in CH2Cl2 to give 51% 2-cyclopentyl-N-(2-hydroxy-1-phenylethyl)-2-[4-(2-methyl-4-oxo-4H-quinazolin-3-ylmethyl)phenyl]acetic acid amide (II). II inhibited liberation of ApoB-100 assocd. lipoproteins with IC50 = 44.4 nM.

**MSTR 1**




G1 = 38




G2 = Ph
G3 = C(O)
G4 = O
DER: and salts
MPL: claim 1
NTE: substitution is restricted

L5 ANSWER 18 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 126:293359 MARPAT
TITLE: Preparation of (S)-3-aralkylamino-2-hydroxypropoxybenzoazoles and analogs as .beta.3-adrenoceptor agonists
INVENTOR(S): Jesudason, Cynthia Darshini; Matthews, Donald Paul; Mcdonald, John Hampton; Neel, David Andrew; Rito, Christopher John; Shuker, Anthony John; Bell, Michael Gregory; Crowell, Thomas Alan; Droste, Christine Ann; Winter, Mark Alan
PATENT ASSIGNEE(S): Eli Lilly and Co., USA
SOURCE: Eur. Pat. Appl., 62 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 764640 | A1 | 19970326 | EP 1996-306851 | 19960920 |
| R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| ZA 9607892 | A | 19980318 | ZA 1996-7892 | 19960918 |
| IL 134420 | A1 | 20010913 | IL 1996-134420 | 19960919 |
| CA 2232434 | AA | 19970327 | CA 1996-2232434 | 19960920 |
| WO 9710825 | A1 | 19970327 | WO 1996-US15135 | 19960920 |
| W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: KE, LS, MW, SD, SZ, UG, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| AU 9670778 | A1 | 19970409 | AU 1996-70778 | 19960920 |
| AU 715175 | B2 | 20000120 | | |
| CN 1202107 | A | 19981216 | CN 1996-198236 | 19960920 |
| BR 9610852 | A | 19990713 | BR 1996-10852 | 19960920 |
| JP 11512701 | T2 | 19991102 | JP 1996-512930 | 19960920 |
| US 5939443 | A | 19990817 | US 1997-882503 | 19970625 |
| US 6060492 | A | 20000509 | US 1997-882587 | 19970625 |
| US 5977154 | A | 19991102 | US 1997-882931 | 19970626 |
| NO 9801203 | A | 19980506 | NO 1998-1203 | 19980317 |
| US 6093735 | A | 20000725 | US 1999-345976 | 19990701 |
| US 6265581 | B1 | 20010724 | US 2000-551184 | 20000417 |
| PRIORITY APPLN. INFO.: | | | US 1995-4082P | 19950921 |
| | | | US 1996-708621 | 19960905 |
| | | | IL 1996-119270 | 19960919 |
| | | | WO 1996-US15135 | 19960920 |
| | | | US 1997-850562 | 19970502 |
| | | | US 1997-882931 | 19970626 |

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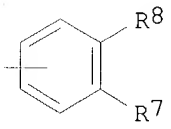
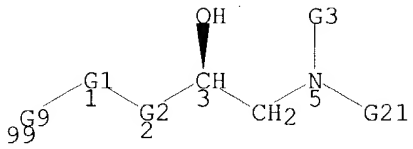


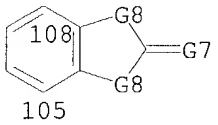

II

AB (S)-R1Z1CH(OH)CH2NR3CR5R6Z2R4 [I; R1 = heterocyclo-fused Ph group, e.g., II;R3 = H, alkyl, aryl; R4 = R9-substituted Ph, -naphthyl, -cycloalkyl, etc.; R5,R6 = H or alkyl; R7R8 = (un)substituted NA3A4 or (un)substituted NA3:A4; A3,A4 = C or N (sic); R9 = halo, alkyl, alkoxy, aryloxy, etc.; Z1 = bond, OCH2, SCH2; Z2 = bond or alkylene] were prepd. Thus, 4-(HO)C6H4CH2OH was condensed with Me2CHNO2 and the reduced product etherified by 6-chloronicotinamide to give 6-[4-(2-amino-2-methylpropyl)phenoxy]nicotinamide which was condensed with (S)-4-glycidyloxyindole to give I [R1 = 4-indolyl, R3 = H, R4 = C6H4[OC6H4(CONH2)-4]-4, R5 = R6 = Me, Z1 = OCH2, Z2 = CH2]. Data for biol. activity of I were given.

**MSTR 1**




G1 = 108-2 105-99




G7 = O
G8 = 41 / CH2


G9 = Ph (SO)
G10 = Me
DER: or pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted

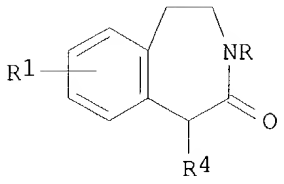
L5 ANSWER 19 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 125:142587 MARPAT
TITLE: Process for preparation of (alkenyl)benzazepinones
INVENTOR(S): Berger, Joel G.; Chang, Wei K.; Kozlowski, Joseph A.; Zhou, Guowei
PATENT ASSIGNEE(S): Schering Corp., USA
SOURCE: U.S., 13 pp., Cont.-in-part of U.S. 5,241,065. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 5530125 | A | 19960625 | US 1994-290894 | 19940819 |
| US 5241065 | A | 19930831 | US 1992-841603 | 19920225 |
| WO 9316997 | A1 | 19930902 | WO 1993-US1425 | 19930223 |

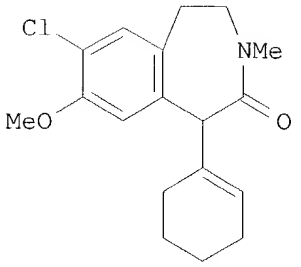
W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG

PRIORITY APPLN. INFO.: US 1992-841603 19920225
WO 1993-US1425 19930223

GI



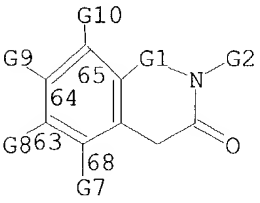

I




II

AB A process for the prepn. of .alpha.-substituted arylethylamines I (R, R1 = substituent; R4 = alkenyl, cycloalkenyl; p = 0-3) comprises the treatment of an arylacetamide with a strong base in an inert aprotic org. solvent, followed by reaction with a zerovalent transition metal catalyst and then with a compd. of the formula R X, (R4 = 1-alkenyl, 1-cycloalkenyl; X = leaving group). The .alpha.-substituted arylacetamides are useful as intermediates in the prepn. (by redn.) of .alpha.-substituted arylethylamines, e.g., 1-substituted-2,3,4,5-tetrahydro-1H-3-benzazepines, having pharmacol. activity. Certain benzazepines wherein the 1-substituent R4 = 1-(1-cycloalkenyl) are new. For example, the alkenylation of 7-chloro-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-benzazepin-2-one with cyclohexenyl triflate in the presence of tetrakis(triphenylphosphine)palladium gave 7-chloro-1-(1-cyclohexen-1-yl)-1,3,4,5-tetrahydro-8-methoxy-3-methyl-2H-3-benzazepin-2-one (II).

**MSTR 2**




G2 = alkyl<(1-10)> (SO cycloalkyl<(3-8)>)
G10 = Ph (SO (1-) G3)
MPL: claim 5

L5 ANSWER 20 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 125:33651 MARPAT
TITLE: Preparation of [(tetrahydropyridoindolyl)alkyl]benzazolinone derivatives having serotonin 5-HT1D.alpha. receptor activity
INVENTOR(S): Gilmore, Jeremy; Gallagher, Peter Thaddeus; Miles, Martin Victor; Owton, William Martin; Smith, Colin William
PATENT ASSIGNEE(S): Lilly Industries Ltd., UK
SOURCE: Can. Pat. Appl., 34 pp.
CODEN: CPXXEB
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| CA 2157998 | AA | 19960313 | CA 1995-2157998 | 19950911 |
| US 5563147 | A | 19961008 | US 1995-462237 | 19950605 |
| EP 705832 | A1 | 19960410 | EP 1995-306253 | 19950907 |
| EP 705832 | B1 | 20030813 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| AT 247114 | E | 20030815 | AT 1995-306253 | 19950907 |
| AU 9530497 | A1 | 19960328 | AU 1995-30497 | 19950908 |
| AU 698580 | B2 | 19981105 | | |
| HU 72593 | A2 | 19960528 | HU 1995-2631 | 19950908 |
| HU 219491 | B | 20010428 | | |
| CZ 286565 | B6 | 20000517 | CZ 1995-2322 | 19950908 |
| FI 9504243 | A | 19960313 | FI 1995-4243 | 19950911 |
| NO 9503575 | A | 19960313 | NO 1995-3575 | 19950911 |
| JP 08081464 | A2 | 19960326 | JP 1995-231873 | 19950911 |
| ZA 9507607 | A | 19960517 | ZA 1995-7607 | 19950911 |
| CN 1129219 | A | 19960821 | CN 1995-117133 | 19950911 |
| CN 1045602 | B | 19991013 | | |
| IN 179550 | A | 19971018 | IN 1995-CA1079 | 19950911 |
| IL 115236 | A1 | 19980615 | IL 1995-115236 | 19950911 |
| RU 2146256 | C1 | 20000310 | RU 1995-115522 | 19950911 |
| PRIORITY APPLN. INFO.: | | | GB 1994-18326 | 19940912 |
| | | | GB 1995-11166 | 19950602 |

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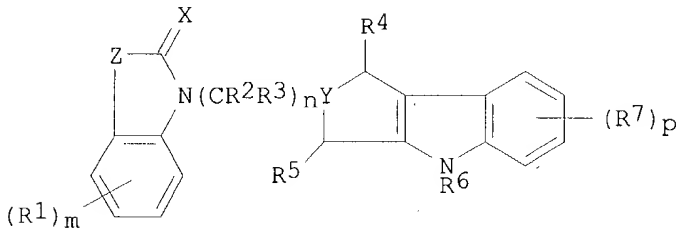

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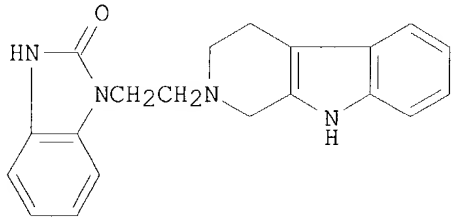
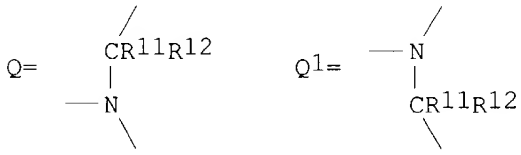


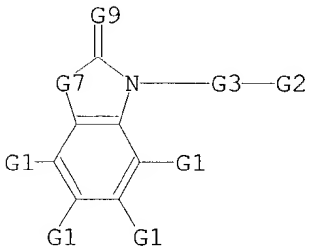
II



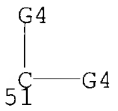
AB Pharmaceutical compds. of the formula [I; R1, R7 = halo, CF3, C1-6 alkyl,

C1-6 alkoxy, each optionally substituted Ph, naphthyl, or heteroaryl; R2, R3 = H or C1-6 alkyl; R4, R5 = H, halo, CF3, C1-6 alkyl, C1-6 alkoxy, each optionally substituted Ph, naphthyl, or heteroaryl; R6 = H, C1-6 alkyl, each optionally substituted Ph, naphthyl, heteroaryl, or phenyl-C1-6 alkyl, CO2R8 (where R8 is an ester group); m, p = 0-4; n =1-4; Z = NR9, O, S, CR9R10; R9, R10 = H, C1-6 alkyl, optionally substituted phenyl-C1-6 alkyl; X = O, S; Y = Q, Q1 (where R11, R12 = H, C1-6 alkyl, CF3, each optionally substituted Ph, naphthyl, or heteroaryl)] and salts and solvates thereof, which are useful for the treatment of diseases of central nervous system such as obesity, bulimia, alcoholism, pain, depression, hypertension, aging, memory loss, sexual dysfunction, anxiety, schizophrenia, gastrointestinal disorders, headache, cardiovascular disorders, smoking cessation, drug addiction, and emesis, are prepd. Thus, 8.7 mmol 1-[2-(1,2,3,4-tetrahydro-9H-pyrido[3,4-b]indol-2-yl)-1-ethyl]-1,3-dihydrobenzimidazol-2-one was suspended in 50 mL Me iso-Bu ketone, treated with 9.58 mmol 1-(2-chloroethyl)-1,3-dihydro-2H-benzimidazol-2-one, 10.45 mmol Na2CO3, and 10 mg Bu4NI, and the suspension was heated to 90.degree. for 2 days to give the title compd. (II). A total of 23 I were prepd. and showed binding affinity to 5-HT1D.alpha. receptor with Ki values 20-5,000 nM and also possessed binding activity at the 5-HT1D.beta. and 5-HT2A receptors.

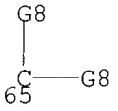
**MSTR 1**




G1 = Ph (SO)
G3 = (1-4) 51




G7 = 65




G9 = O
DER: and salts and solvates
MPL: claim 1

L5 ANSWER 21 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 125:10614 MARPAT

TITLE: Preparation of benzannelated five-membered heterocyclecarboxamides as 5-HT receptor antagonists
INVENTOR(S): Forbes, Ian Thomson; Jones, Graham Elgin; King, Francis David; Ham, Peter; Davies, David Thomas; Moghe, Angela
PATENT ASSIGNEE(S): Smithkline Beecham Plc, UK
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9602537 | A1 | 19960201 | WO 1995-EP2637 | 19950706 |
| W: JP, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 770076 | A1 | 19970502 | EP 1995-943540 | 19950706 |
| R: BE, CH, DE, FR, GB, IT, LI, NL | | | | |
| JP 10502653 | T2 | 19980310 | JP 1995-504647 | 19950706 |
| US 5922733 | A | 19990713 | US 1997-765933 | 19970630 |
| PRIORITY APPLN. INFO.: | | | GB 1994-14139 | 19940713 |
| | | | WO 1995-EP2637 | 19950706 |

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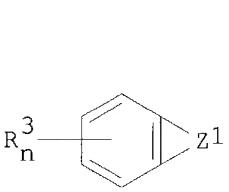


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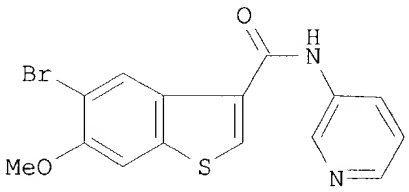


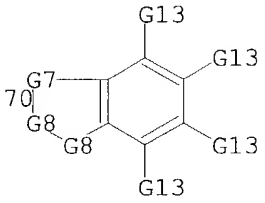

II

AB Title compds. [I; R3 = halo, NH2, OH, alkyl, etc.; Z1 = XYZCONR2Z2R1 or X:YZCONR2Z2R1 (Z = CH or N), XY:ZCONR2Z2R1 (Z = C); R1 = H, halo, alkyl, alkoxy, etc.; R2 = H or alkyl; X,Y = O, S, CO, CH, CH2, NH, etc; Z2 = phenylene, (iso)quinolinediyl, heterocyclylene; n = 0-3] were prepd. as 5-HT2B and 5-HT2C receptor antagonists. Thus, 4,3-Br(MeO)C6H3SH was etherified by BrCH2COCO2Et and the product cyclized to give, after sapon., 5-bromo-6-methoxybenzo[b]thiophene-3-carboxylic acid which was amidated by 3-aminopyridine to give title compd. II. Selected I had Ki.gtoreq.7.2 for binding to rat or human 5-HT2C clones expressed in 293 cell in vitro.

**MSTR 1**

G1---G4---C(O)-G6

G6 = 70

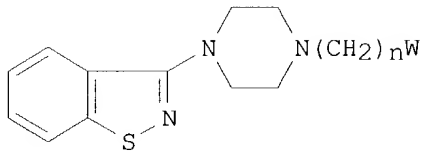



G7 = N
G8 = C(O) / CH2
G13 = Ph (SO)
DER: and pharmaceutically acceptable salts
MPL: claim 1
NTE: substitution is restricted
NTE: additional ring formation specified

L5 ANSWER 22 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 123:83356 MARPAT
TITLE: Preparation of 3-(1-piperazinyl)-1,2-benzisothiazole derivatives with antipsychotic effect
INVENTOR(S): Fukuda, Yoshimasa; Sasaki, Toshiro; Nakatani, Yuuko; Ichimaru, Yasuyuki; Imanishi, Taiichiro
PATENT ASSIGNEE(S): Meiji Seika K. K., Japan
SOURCE: PCT Int. Appl., 95 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9418197 | A1 | 19940818 | WO 1994-JP159 | 19940203 |
| W: CN, JP, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| EP 635506 | A1 | 19950125 | EP 1994-905841 | 19940203 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE | | | | |
| CN 1103534 | A | 19950607 | CN 1994-190042 | 19940203 |
| CN 1050604 | B | 20000322 | | |
| US 5599815 | A | 19970204 | US 1994-318857 | 19941220 |
| PRIORITY APPLN. INFO.: | | | JP 1993-17505 | 19930204 |
| | | | WO 1994-JP1 | 19940104 |
| | | | WO 1994-JP159 | 19940203 |

GI

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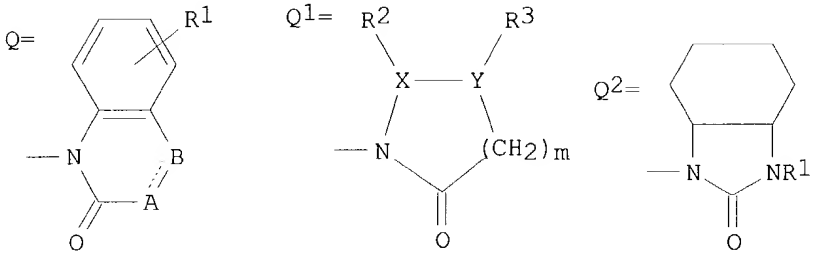
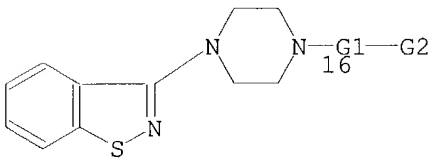
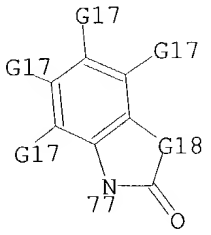



AB Compds. represented by general formula [I; n = 2-4; W = heterocyclyl, e.g., Q - Q2; m = 0-2; A = CH2, CH, N, NH; B = CH2, CH, N, NH, S; provided that both A and B .noteq. N or NH; X = CH, N, S, bond; Y = CH, N; R1 = H, halo, lower (halo)alkyl, (un)substituted Ph, OH, NO2, lower alkoxy, NH2, cyano; R2, R3 = H, halo, lower (halo)alkyl or alkoxy, NH2, cyano, provided that when X = bond, R2 is not present; or R2R3 = (CH2)p (wherein p = 3-5)] and pharmacol. acceptable salts thereof, reduced in the adverse effect against the extrapyramidal system and hence useful as an antipsychotic agent with few side effects, are prepd. Thus, 0.29 g 2-hydroxyquinoline was dissolved in DMF and treated with 80 mg NaH at 60.degree. for 30 min with stirring followed by cooling the reaction mixt. to room temp. and adding 2.16 g 1,4-dibromobutane and the resulting mixt. was stirred at 60.degree. for 4 h to give 64% 1-(4-bromobutyl)-2(1H)-quinolinone (II). II 0.56, 3-(1-piperazinyl)-1,2-benzisothiazole 0.44, and K2CO3 0.33 g were suspended in DMF and stirred at room temp. for 12 h to give 80% title compd. I (n = 4, W = 2-oxo-1,2-dihydro-1-quinolinyl). II (n = 4, W = 9-carbazolyl) and II (n = 3, W = 2-oxo-1,2-dihydro-1-quinolinyl) showed ED50 of 1.15 and 0.92 mg/kg i.p., resp., for inhibiting methamphetamine-induced spontaneous movement of mice (vs. 0.16 and 1.05 mg/kg i.p. for haloperidol and chlorpromazine, resp.) and induced catalepsy in mice at ED50 of >100 and 83.3 mg/kg i.p. in mice (vs. 1.3 and 6.2 mg/kg i.p. for haloperidol and chlorpromazine, resp.).

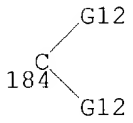
**MSTR 1**




G1 = (2-4) CH2
G2 = 77




G17 = Ph (SO (1-) G5)
G18 = 184

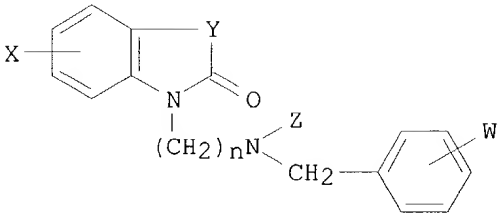



DER: and pharmacologically acceptable salts
MPL: claim 1

L5 ANSWER 23 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 122:239719 MARPAT
TITLE: 1-substituted isatin and oxindole derivatives as inhibitors of acetylcholinesterase
INVENTOR(S): Boar, Bernard Robin; Oshea, Dennis Mark; Tomlinson, Ian David
PATENT ASSIGNEE(S): Astra AB, Swed.
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9429272 | A1 | 19941222 | WO 1994-SE448 | 19940513 |
| W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2164119 | AA | 19941222 | CA 1994-2164119 | 19940513 |
| AU 9470108 | A1 | 19950103 | AU 1994-70108 | 19940513 |
| EP 703901 | A1 | 19960403 | EP 1994-919032 | 19940513 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| JP 08511515 | T2 | 19961203 | JP 1994-501642 | 19940513 |
| NO 9505074 | A | 19960207 | NO 1995-5074 | 19951214 |
| FI 9506074 | A | 19951218 | FI 1995-6074 | 19951218 |
| PRIORITY APPLN. INFO.: | | | SE 1993-2080 | 19930616 |
| | | | WO 1994-SE448 | 19940513 |

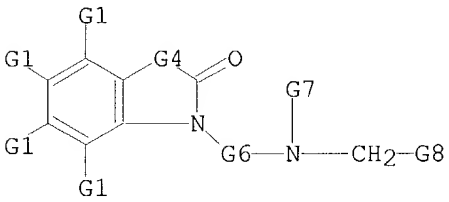
GI



I

AB The title compds. [I; W = hydrogen, lower alkyl, lower alkoxy, halogen; X = hydrogen, lower alkyl, aryl, lower alkoxy, halogen, trifluoromethyl, nitro, NHCOR, (un)substituted NH2; R = lower alkyl, aryl; Y = CO, (un)substituted CH2; Z = lower alkyl; n = 3-7] [e.g., 5'-(1-piperidinyl)-spiro-[1,3-dioxolane-2,3'-[3H]-indol]-2'(1'H)-one], useful as acetylcholinesterase inhibitors (no data) for the treatment of cognitive dysfunction (no data), Alzheimer's disease (no data), Down's syndrome (no data), Parkinson's disease (no data), glaucoma (no data), etc. (no data), are prepd. and I-contg. formulations presented.

**MSTR 1**



G1 = Ph (SO (1-) G2)
G4 = 18

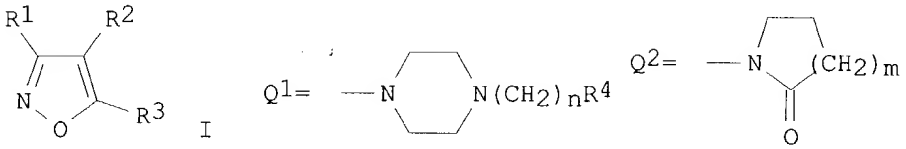
G6 = (3-7) CH2
DER: and pharmaceutically acceptable salts and solvates
MPL: claim 1
STE: and stereo and optical isomers and racemates

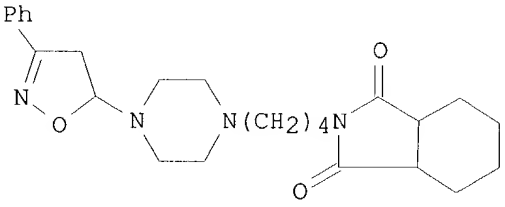
L5 ANSWER 24 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 122:81401 MARPAT
TITLE: Preparation of piperazinylisoxazole derivatives as antipsychotics with low extrapyramidal side effects
INVENTOR(S): Fukuda, Yoshimasa; Yamazaki, Naoki; Sasaki, Toshiro; Imanishi, Taiichiro; Hiranuma, Toyoichi
PATENT ASSIGNEE(S): Meiji Seika Co, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 06234753 | A2 | 19940823 | JP 1993-22910 | 19930210 |
| PRIORITY APPLN. INFO.: | | | JP 1993-22910 | 19930210 |

GI

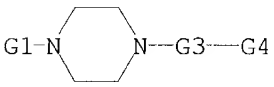


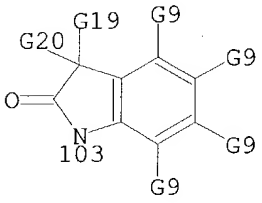

II

AB The title compds. I [R1 - R3 = H, halo, Q1, etc.; n = 0 - 5; one of R1 - R3 is Q1; R4 = Q2, etc.; m = 0 - 5] are prepd. Piperazinylisoxazole deriv. cis-II (prepn. given) showed ED50 of 1.2 mg/Kg i. p. against methamphetamine-induced hyperactivity in mice, vs. ED50 of 1.1 mg/Kg i.p. for chlorpromazine (III). In a test for catalepsy-inducing effect in mice, cis-II showed ED50 of >100 mg/Kg i.p., vs. ED50 of 6.2 mg/Kg i.p. for III.

**MSTR 1**




G3 = (0-5) CH2
G4 = 103



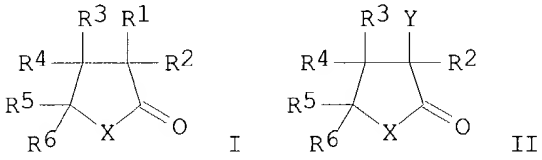

G9 = Ph
DER: or pharmacologically acceptable salts
MPL: claim 1

L5 ANSWER 25 OF 35 MARPAT COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 121:133948 MARPAT
TITLE: Process for the preparation of methylated or hydroxyethylated 5-membered heterocycles
INVENTOR(S): Fischer, Rolf; Pinkos, Rolf
PATENT ASSIGNEE(S): BASF A.-G., Germany
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

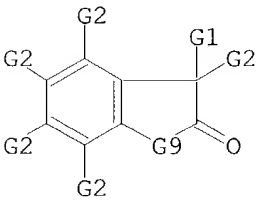
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 602515 | A1 | 19940622 | EP 1993-119734 | 19931208 |
| EP 602515 | B1 | 19980715 | | |
| R: BE, CH, DE, FR, GB, LI, NL | | | | |
| DE 4242451 | A1 | 19940623 | DE 1992-4242451 | 19921216 |
| US 5453516 | A | 19950926 | US 1993-165463 | 19931213 |

PRIORITY APPLN. INFO.: DE 1992-4242451 19921216
GI

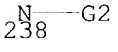



AB The title compds. (I; R1 = Me, hydroxyethyl; R2-R6 = H, C1-12 alkyl, C2-12 alkenyl, aryl, halogen, etc.; X = O, NR4) are readily prepd. by reacting heterocycle II (Y = H, acetyl, C2-20 alkoxycarbonyl) with di-Me carbonate or ethylene carbonate in the presence of a N-contg. base at 50-300.degree./0.01-50 bar. Thus, 4-methylbutyrolactone, di-Me carbonate, and NMe3 where reacted at 200.degree. in an autoclave for 5 h, producing 2,4-dimethylbutyrolactone (b.p. 70-74.degree./10 mbar) in 74% yield.

**MSTR 1B**




G2 = alkyl<(1-18)> (SR alkoxycarbonyl<(1-18)>) / Ph
G9 = 238

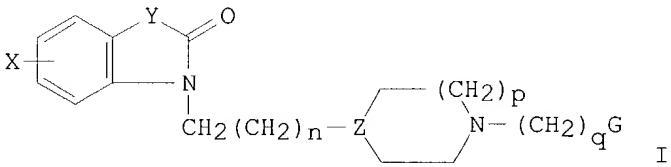



MPL: claim 1

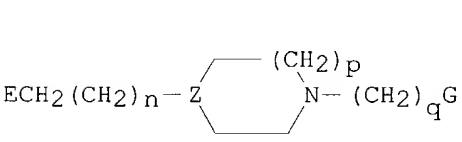
L5 ANSWER 26 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 119:225964 MARPAT
TITLE: Isatin derivative cholinesterase inhibitors and processes for their preparation
INVENTOR(S): Boar, Bernard Robin; Cross, Alan John
PATENT ASSIGNEE(S): Aktiebolaget Astra, Swed.
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9312085 | A1 | 19930624 | WO 1992-SE873 | 19921216 |
| W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, RO, RU, SD, SE, UA | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG | | | | |
| ZA 9209700 | A | 19930810 | ZA 1992-9700 | 19921214 |
| AU 9331759 | A1 | 19930719 | AU 1993-31759 | 19921216 |
| AU 675055 | B2 | 19970123 | | |
| EP 624156 | A1 | 19941117 | EP 1993-900490 | 19921216 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| JP 07502272 | T2 | 19950309 | JP 1992-510848 | 19921216 |
| HU 69704 | A2 | 19950928 | HU 1994-1844 | 19921216 |
| SK 278321 | B6 | 19961002 | SK 1994-734 | 19921216 |
| PL 170736 | B1 | 19970131 | PL 1992-304124 | 19921216 |
| CN 1079464 | A | 19931215 | CN 1992-115358 | 19921218 |
| CN 1034939 | B | 19970521 | | |
| NO 9402316 | A | 19940617 | NO 1994-2316 | 19940617 |
| FI 9402913 | A | 19940817 | FI 1994-2913 | 19940617 |
| US 5585378 | A | 19961217 | US 1995-467695 | 19950606 |
| PRIORITY APPLN. INFO.: | | | SE 1991-3752 | 19911218 |
| | | | WO 1992-SE873 | 19921216 |
| | | | US 1992-992407 | 19921217 |
| | | | US 1995-417724 | 19950406 |

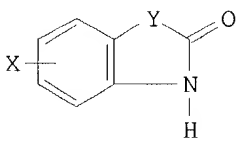
GI



I



II

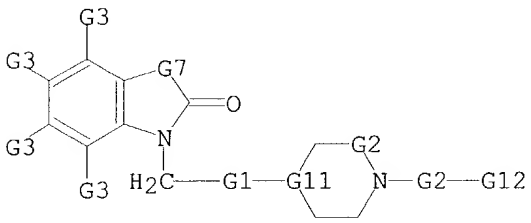


III

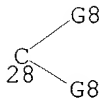
AB The title compds. I [G = (un)substituted Ph, (un)substituted cyclohexyl; X

= H, alkyl, aryl, aryloxy, CN, alkoxy, halogen, hydroxy, NO2, CF3, alkylsulfonamido, etc.; Y = CO, R4CR3; R3, R4 = H, alkyl, alkoxy; Z = N, CH; n = 1-3; q = 1, 2; R3R4 = cyclic acetal], useful as cholinesterase inhibitors in the treatment of cognitive dysfunction, are prepd. by the condensation haloalkyl-substituted heterocyclic deriv. II (E = halogen) with indole deriv. III or by the corresponding condensation of haloalkyl-substituted indole derivs. with phenylalkyl-substituted piperazine derivs. Thus, 5-methyl-1H-indole-2,3-dione was condensed with 1-(2-chloroethyl)-4-(phenylmethyl)piperazine, and the condensate treated with ethanolic HCl, producing 5-methyl-1-[2-[4-(phenylmethyl)-1-piperazinyl]ethyl]-1H-indole-2,3-dione dihydrochloride (m.p. 270-275.degree., decompn.).

**MSTR 1**




G3 = Ph (SO (1-) G4)
G7 = 28




DER: and pharmaceutically acceptable acid addition salts and solvates
MPL: claim 1
NTE: substitution is restricted
STE: and isomers and racemates

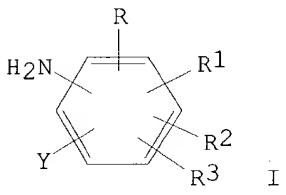
L5 ANSWER 27 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 118:11497 MARPAT
TITLE: Hair dye comprising isatin or derivatives thereof associated with a tri-, tetra- or pentasubstituted aniline or a bisphenylalkylenediamine
INVENTOR(S): Lang, Gerard; Cotteret, Jean
PATENT ASSIGNEE(S): Oreal S. A., Fr.
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 502784 | A1 | 19920909 | EP 1992-400558 | 19920304 |
| EP 502784 | B1 | 19950621 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, PT, SE

| | | | | |
|---|---|---|---|---|
| FR 2673533 | A1 | 19920911 | FR 1991-2615 | 19910305 |
| FR 2673533 | B1 | 19930611 | | |
| CA 2062280 | AA | 19920906 | CA 1992-2062280 | 19920304 |
| US 5261926 | A | 19931116 | US 1992-845586 | 19920304 |
| ES 2073876 | T3 | 19950816 | ES 1992-400558 | 19920304 |
| JP 04360818 | A2 | 19921214 | JP 1992-48491 | 19920305 |
| JP 3330625 | B2 | 20020930 | | |
| PRIORITY APPLN. INFO.: | | | FR 1991-2615 | 19910305 |

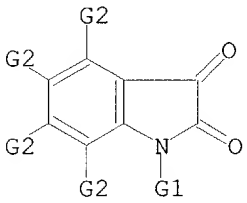
GI




I

AB Hair dyes comprise isatin or isatin derivs. (Markush given) and a bisphenylalkylenediamine or an aniline deriv. I [Y = OH, (un)substituted NH2; R - R3 = H, alkyl, Cl, acetylamino, alkoxy, aryloxy]. A compn. (pH 8; triethanolamine) comprised isatin 1, 2,6-dimethyl-1,4-diaminobenzene 1, EtOH 30 and water to 100 g.

**MSTR 1**



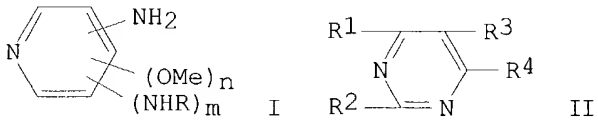

G1 = COMe
G2 = Ph (SO alkyl<(1-6)>)
MPL: claim 1

L5 ANSWER 28 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 117:257972 MARPAT
TITLE: Hair dye comprising isatin or derivatives thereof associated with an aminopyridine derivative
INVENTOR(S): Lang, Gerard; Cotteret, Jean
PATENT ASSIGNEE(S): Oreal S. A., Fr.
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 502783 | A1 | 19920909 | EP 1992-400557 | 19920304 |

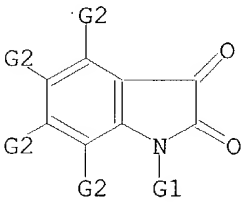
| | | | | | |
|---|---|---|---|---|---|
| EP 502783 | B1 | 19950503 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, PT, SE | | | | | |
| FR 2673532 | A1 | 19920911 | FR 1991-2614 | 19910305 | |
| FR 2673532 | B1 | 19930611 | | | |
| US 5279616 | A | 19940118 | US 1992-845587 | 19920304 | |
| AT 121930 | E | 19950515 | AT 1992-400557 | 19920304 | |
| ES 2072108 | T3 | 19950701 | ES 1992-400557 | 19920304 | |
| CA 2062359 | AA | 19920906 | CA 1992-2062359 | 19920305 | |
| JP 04368318 | A2 | 19921221 | JP 1992-48492 | 19920305 | |
| JP 3330626 | B2 | 20020930 | | | |
| US 5340366 | A | 19940823 | US 1993-136125 | 19931015 | |
| PRIORITY APPLN. INFO.: | | | FR 1991-2614 | 19910305 | |
| | | | US 1992-845587 | 19920304 | |

GI




AB Isatin or an isatin deriv. (Markush given), assocd. with a dimethylpyridine deriv. I (R = H, 2-HOCH2CH2; m = 0, 1; n = m, 2) or a pyrimidine deriv. II [R1 = (un)substituted NH2; R2 = H, OH, R1; R3 = H, NH2; R4 = OH, R1] is a hair dye. A compn. (pH 7.6; triethanolamine) comprised isatin 1, tetraaminopyrimidine 1, EtOH 30, and water to 100 g.

**MSTR 1**



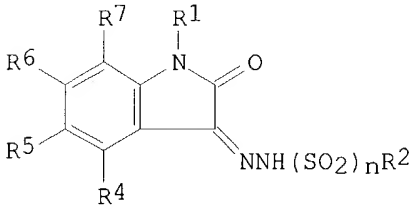

G1 = COMe
G2 = Ph (SO alkyl<(1-6)>)
MPL: claim 1

L5 ANSWER 29 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 117:233851 MARPAT
TITLE: Preparation of hydrazonoindolones as excitatory amino acid antagonists
INVENTOR(S): Dahl, Bjarne Hugo; Waetjen, Frank
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | |
|---|---|---|---|---|
| EP 503349 | A1 | 19920916 | EP 1992-103104 | 19920224 |
| EP 503349 | B1 | 19950104 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE | | | | |
| US 5164404 | A | 19921117 | US 1991-670061 | 19910315 |
| ZA 9201328 | A | 19921125 | ZA 1992-1328 | 19920224 |
| ES 2069330 | T3 | 19950501 | ES 1992-103104 | 19920224 |
| AU 9211225 | A1 | 19920917 | AU 1992-11225 | 19920226 |
| AU 643877 | B2 | 19931125 | | |
| CA 2062853 | AA | 19920916 | CA 1992-2062853 | 19920312 |
| NO 9201000 | A | 19920916 | NO 1992-1000 | 19920313 |
| NO 180191 | B | 19961125 | | |
| NO 180191 | C | 19970305 | | |
| JP 05078350 | A2 | 19930330 | JP 1992-55531 | 19920313 |
| JP 3407896 | B2 | 20030519 | | |
| PRIORITY APPLN. INFO.: | | | US 1991-670061 | 19910315 |

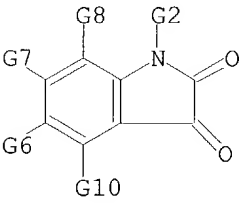
GI




I

AB Title compds. I [n = 0, 1; R1 = H, C1-6 alkyl, C3-7 cycloalkyl, CH2Ph, (substituted) Ph, acyl, OH, C1-6 alkoxy, CH2CO2H, CH2CN, etc.; R2 = (substituted) Ph, -pyridyl; R4 - R7 = H, C1-36 alkyl, Ph, halo, C1-6 alkoxy, NO2, cyano, CF3, SO2NR11R12; R11, R12 = H, CH2Ph, C1-6 alkyl; or R6R7 or R4R5 = atoms to complete a 4-8 membered (substituted) carbocyclic ring] were prepd. for the treatment of disorders responsive to the blockade of glutamic or aspartic receptors. Thus, 5-nitro-1H-6,7,8,9-ttrahydrobenz[g]indole-2,3-dione (prepn. given) and 2-nitrophenylhydrazone were stirred in MeOH contg. HCl to give 5-nitro-1H-6,7,8,9-tetrahydrobenz[g]indole-2,3-dione-3-(2-nitrophenylhydrazone) as a mixt. of E- and Z-isomers. I are said to exhibit binding at 3H-kainate, NMDA, 3H-AMPA and/or 3H-glycine binding sites with IC50's of 1-100 .mu.M.

**MSTR 2A**



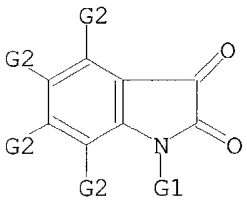

G2 = CH2Ph (SO)
G8 = Ph
MPL: claim 10

L5 ANSWER 30 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 117:178123 MARPAT
TITLE: hair dye preparation containing isatine and an aminoindole or an aminoindoline derivative.
INVENTOR(S): Lang, Gerard; Cotteret, Jean
PATENT ASSIGNEE(S): Oreal S. A., Fr.
SOURCE: Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 497697 | A1 | 19920805 | EP 1992-400237 | 19920130 |
| EP 497697 | B1 | 19951206 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, PT, SE | | | | |
| FR 2672210 | A1 | 19920807 | FR 1991-1186 | 19910201 |
| FR 2672210 | B1 | 19930521 | | |
| US 5190564 | A | 19930302 | US 1992-828299 | 19920130 |
| AT 131035 | E | 19951215 | AT 1992-400237 | 19920130 |
| ES 2089431 | T3 | 19961001 | ES 1992-400237 | 19920130 |
| CA 2060488 | AA | 19920802 | CA 1992-2060488 | 19920131 |
| JP 04338321 | A2 | 19921125 | JP 1992-16805 | 19920131 |

PRIORITY APPLN. INFO.: FR 1991-1186 19910201
AB A hair dye compn. contained isatine 1, 6-aminoindole 1, EtOH 30 (pH 8.1), and water 100 by wt. The compn. gave a copper color to the 90% gray hair.

**MSTR 1**

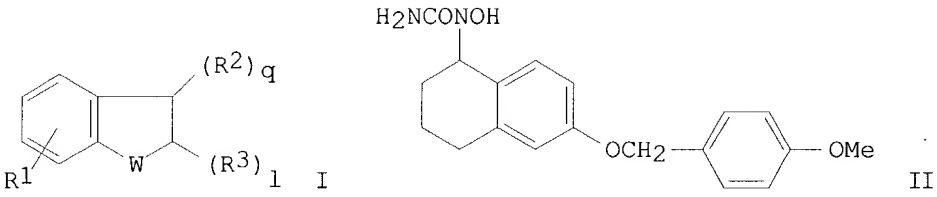



G1 = COMe
G2 = Ph (SO alkyl<(1-6)>)
DER: and cosmetically acceptable salts
MPL: claim 1

L5 ANSWER 31 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 116:255341 MARPAT
TITLE: Preparation of N-substituted tetrahydronaphthyl-N-hydroxyureas and analogs as 5-lipoxygenase inhibitors
INVENTOR(S): Adams, Jerry Leroy; Garigipati, Ravi Shanker; Griswold, Don Edgar; Schmidt, Stanley James
PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

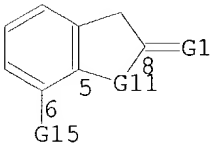
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 9114674 | A2 | 19911003 | WO 1991-US2010 | 19910325 |
| WO 9114674 | A3 | 19920109 | | |
| W: AU, CA, JP, KR, US | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | | |
| CA 2078126 | AA | 19910928 | CA 1991-2078126 | 19910325 |
| AU 9175875 | A1 | 19911021 | AU 1991-75875 | 19910325 |
| AU 660277 | B2 | 19950622 | | |
| EP 522000 | A1 | 19930113 | EP 1991-907085 | 19910325 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| JP 05505610 | T2 | 19930819 | JP 1991-506661 | 19910325 |
| ZA 9102264 | A | 19920429 | ZA 1991-2264 | 19910326 |
| PRIORITY APPLN. INFO.: | | | US 1990-500153 | 19900327 |
| | | | US 1990-500179 | 19900327 |
| | | | WO 1991-US2010 | 19910325 |

GI

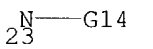



AB Title compds. I (R1 = H, C1-10 alkyl, C1-10 alkoxy, etc.; R2, R3 = R4C:BN(ORa), R4 = (halo)(hydroxy) C1-6 alkyl, C2-6 alkenyl, (halo)heteroaryl, C1-6 alkoxy, R5R6N wherein R5 = H, alkyl, R6 = C1-6 alkyl, aryl, PhCH2, etc.; B = O, S, Ra = H, cation, aroyl, C1-12 alkoyl; W = CH2(CH2)s, O(CH2)s, S(CH2)s, NR7(CH2)s, s = 0-3, R7 = H, C1-4 alkyl, Ph, C1-6 alkoyl, aroyl; l = q = 0, 1) or a salt thereof, are prepd. I are also analgesics. To 6-hydroxy-1-tetralone was added NaH, followed by 4-(MeO)C6H4CH2Cl and the mixt. was heated to 90.degree. for 1 h to give the tetralone derivs. To this in pyridine was added HONH2.HCl to give the oxime, which was treated with BH3-pyridine and converted to the N-hydroxyamine deriv. to which was added Me3SiNCO to give after work up the title compd. II. II inhibited 5-lipoxygenase with IC50 of 0.5 .mu.M and an analgesic activity ED50 of 10 mg/kg.

**MSTR 3H**




G1 = O
G12 = 23



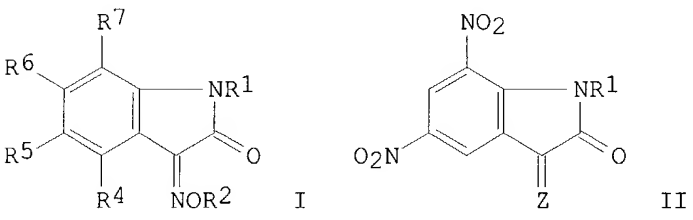
G14 = CHO
G15 = Ph (SO)
MPL: claim 30

L5 ANSWER 32 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 115:183089 MARPAT
TITLE: Preparation of isatin derivatives as central nervous system (CNS) agents
INVENTOR(S): Watjen, Frank; Drejer, Jorgen; Jensen, Leif Helth
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 432648 | A2 | 19910619 | EP 1990-123474 | 19901206 |
| EP 432648 | A3 | 19910925 | | |
| EP 432648 | B1 | 19950802 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| ZA 9009479 | A | 19910925 | ZA 1990-9479 | 19901126 |
| JP 03204856 | A2 | 19910906 | JP 1990-330898 | 19901130 |
| JP 3057095 | B2 | 20000626 | | |
| FI 9005943 | A | 19910612 | FI 1990-5943 | 19901203 |
| ES 2077623 | T3 | 19951201 | ES 1990-123474 | 19901206 |
| CA 2031756 | AA | 19910612 | CA 1990-2031756 | 19901207 |
| CA 2031756 | C | 20020611 | | |
| NO 9005320 | A | 19910612 | NO 1990-5320 | 19901210 |
| NO 174464 | B | 19940131 | | |
| NO 174464 | C | 19940511 | | |
| AU 9067920 | A1 | 19910613 | AU 1990-67920 | 19901210 |
| AU 629075 | B2 | 19920924 | | |
| US 5198461 | A | 19930330 | US 1991-710790 | 19910605 |

PRIORITY APPLN. INFO.:
DK 1989-6248 19891211
DK 1989-6470 19891219
DK 1990-85 19900112
DK 1990-86 19900112
DK 1990-363 19900212
DK 1990-2093 19900831
US 1990-624409 19901207

GI

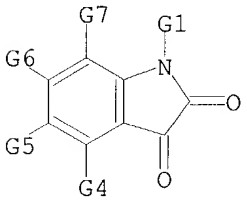



I II

AB Isatin derivs. [I; R1 = H, linear or branched C1-6 alkyl, C3-7 cycloalkyl,

(substituted) Ph, PhCH2, OH, acyl, etc.; R2 = H, PhCH2, linear or branched C1-6 alkyl, C3-7 cycloalkyl; R4-R7 = H, linear or branched C1-6 alkyl, C1-6 alkoxy, Ph, halo, NO2, cyano, etc.], esp. useful in treating CNS conditions sensitive to excitatory amino acids. To a stirred soln. of diketone II (R1 = H, Z = O) in DMF was added 55% NaH in mineral oil, followed by MeI with stirring at room temp. to give II (R1 = Me, Z = O), which was treated with MeONH2.HCl and Na2CO3 at room temp. to give oxime II (R1 = Me, Z = MeON). Also prepd. were 54 addnl. I which were effective in treating CNS disorders at 30-100 mg/day.

**MSTR 2**

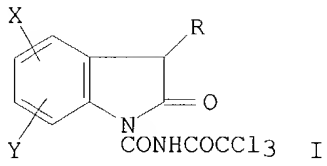



G1 = CH2Ph
G7 = Ph
MPL: claim 13

L5 ANSWER 33 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 114:42571 MARPAT
TITLE: Preparation of intermediates for making 2-oxindole-1-carboxamides
INVENTOR(S): Kelly, Sarah E.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 7 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4952703 | A | 19900828 | US 1989-357138 | 19890525 |
| EP 399748 | A2 | 19901128 | EP 1990-305464 | 19900521 |
| EP 399748 | A3 | 19920108 | | |
| EP 399748 | B1 | 19960124 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | |
| AT 133409 | E | 19960215 | AT 1990-305464 | 19900521 |
| ES 2083427 | T3 | 19960416 | ES 1990-305464 | 19900521 |
| CA 2017328 | AA | 19901125 | CA 1990-2017328 | 19900523 |
| JP 03011061 | A2 | 19910118 | JP 1990-135177 | 19900524 |
| JP 07119211 | B4 | 19951220 | | |
| US 5086186 | A | 19920204 | US 1990-531952 | 19900531 |
| JP 07215935 | A2 | 19950815 | JP 1994-293236 | 19941128 |
| JP 2500853 | B2 | 19960529 | | |

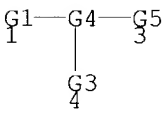
PRIORITY APPLN. INFO.: US 1989-357138 19890525
OTHER SOURCE(S): CASREACT 114:42571
GI



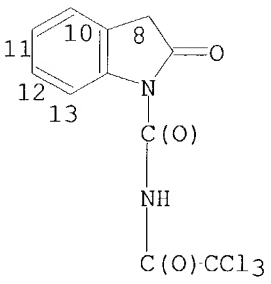

I

AB The title intermediates, i.e. N-(trichloroacetyl) amides I [X = H, Br, Cl, F, C1-4 alkyl, C3-7 cycloalkyl, C1-4 alkoxy, C1-4 alkylthio, F3C, etc.; Y = H, Br, Cl, F, C1-4 alkyl, C3-7 cycloalkyl, C1-4 alkoxy, C1-4 alkylthio, F3C; or XY = methylenedioxy, ethylenedioxy, XYC = trimethylene, tetramethylene, etc.; R = H, R1CO, R1 = C1-6 alkyl, (substituted) Ph, naphthyl, etc.], are prepd. and hydrolyzed to 2-oxindole-1-carboxamides useful as analgesics and antiinflammatories or intermediates thereof. 5-Chloro-2-oxindole, MePh and Cl3CCONCO were warmed to 80.degree. to give I (X = R = H; Y = 5-Cl) (II). II, MeOH and H2SO4 were heated to 45.degree. to give 2-chloro-2-oxindole-1-carboxamide.

**MSTR 1A**




G1 = Ph
G4 = 10-1 11-4 8-3 / 10-1 12-4 8-3 / 10-1 13-4 8-3 /
11-1 10-4 8-3 / 11-1 12-4 8-3 / 11-1 13-4 8-3 /
12-1 10-4 8-3 / 12-1 11-4 8-3 / 12-1 13-4 8-3 /
13-1 10-4 8-3 / 13-1 11-4 8-3 / 13-1 12-4 8-3




MPL: claim 1

L5 ANSWER 34 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 113:217781 MARPAT
TITLE: Preparation of 3-aryliminoindolin-2-one hair dyes
INVENTOR(S): Anderson, James S.; Schultz, Thomas M.
PATENT ASSIGNEE(S): Bristol-Myers Co., USA
SOURCE: Eur. Pat. Appl., 9 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 359465 | A2 | 19900321 | EP 1989-309007 | 19890906 |
| EP 359465 | A3 | 19901227 | | |
| EP 359465 | B1 | 19931118 | | |
| R: BE, CH, DE, ES, FR, GB, IT, LI, NL, SE | | | | |
| US 4921503 | A | 19900501 | US 1988-243525 | 19880912 |
| CA 1327938 | A1 | 19940322 | CA 1988-583086 | 19881115 |
| JP 02104778 | A2 | 19900417 | JP 1989-234827 | 19890912 |
| JP 2929203 | B2 | 19990803 | | |
| PRIORITY APPLN. INFO.: | | | US 1988-243525 | 19880912 |

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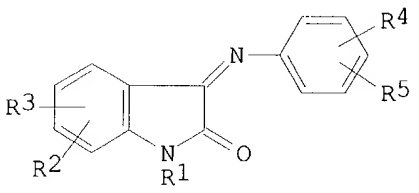
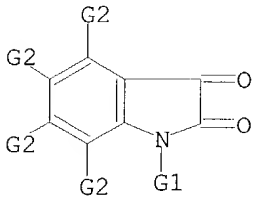



I

AB The title compds. I [R1 = H, alkyl, Ac, Bz, Ph; R2, R3 = H, alkyl, OH, NH2, halo, NO2, etc.; R4, R5 = H, halo, alkyl, (un)substituted Ph, etc.] are hair dyes. I may be prepd. in situ from the corresponding isatins and anilines. A soln. of 1 g isatin and 1 g p-phenylenediamine in 30 mL EtOH and 70 mL H2O was applied to hair for 20 min, to produce a red color. I (11) were prepd. as usual.

**MSTR 1**



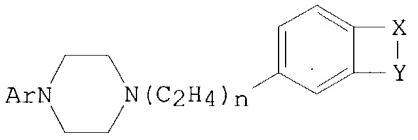

G1 = COMe
G2 = Ph (SO (1-) alkyl<(1-6)>)
MPL: claim 1

L5 ANSWER 35 OF 35 MARPAT COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 111:153842 MARPAT
TITLE: Neuroleptic arylpiperazinylalkyl-substituted heterocycles and their pharmaceutical compositions and use
INVENTOR(S): Lowe, John A., III.; Nagel, Arthur A.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 9 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4831031 | A | 19890516 | US 1988-146886 | 19880122 |
| IN 173938 | A | 19940813 | IN 1988-DE139 | 19880219 |
| US 4883795 | A | 19891128 | US 1989-300995 | 19890123 |

PRIORITY APPLN. INFO.: US 1988-146886 19880122
OTHER SOURCE(S): CASREACT 111:153842
GI

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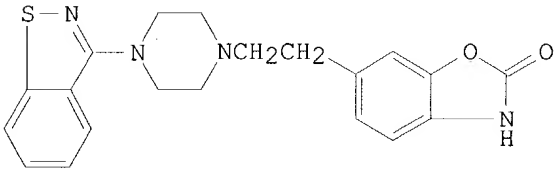
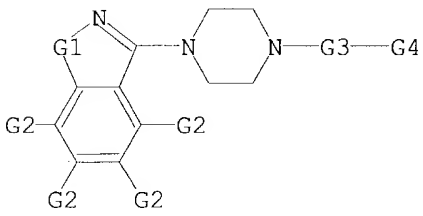


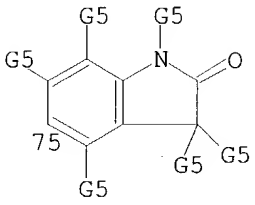

II

AB Title compds. I [Ar = benzothiazolyl, benzothiadiazolyl, benzotriazolyl, benzoxazolyl, benzoxazolonyl, indolyl, phthalazinyl, (un)substituted naphthyl, quinolyl, isoquinolyl, benzoisothiazolyl indanyl, 3-indazolyl; n = 1, 2; X and Y plus attached Ph = benzimidazolonyl, benzotriazolyl, (un)substituted quinolyl, benzothiazolyl, benzoisothiazolyl, indazolyl, indolyl, spiro[cyclopentaneindolinyl]] are prepd. as neuroleptics (no data). Benzoxazolone was acylated by BrCH2CO2H and polyphosphoric acid, and the bromoacetyl deriv. reduced by Et3SiH and CF3CO2H, to give 11% 6-(2-bromoethyl)benzoxazolone. Alkylation of N-(3-benzisothiazolyl)piperazine by the bromide in MIBK contg. Na2CO3 gave benzoxazolone II.

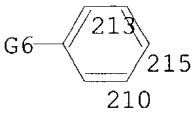
**MSTR 1B**



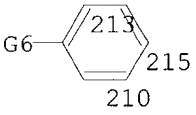

G4 = 75




G5 = alkyl<(1-3)> / 213 / 210 / 215




G7 = 213 / 210 / 215




DER: or a pharmaceutically acceptable acid addition salt
MPL: claim 1

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L2 1 S L1 SAM
L3 11 S L1 FULL

FILE 'CA' ENTERED AT 15:37:45 ON 25 FEB 2004
L4 1 S L3

FILE 'MARPAT' ENTERED AT 15:37:59 ON 25 FEB 2004
L5 35 S L1 FULL

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---Logging off of STN---

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Executing the logoff script...

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STN INTERNATIONAL LOGOFF AT 15:40:14 ON 25 FEB 2004